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U.S. PATENT TEXT FILE

8/468145

=> e engel, jurgen/in

E#	FILE	FREQUENCY TERM
E1	USPAT	1 ENGEL, JOSEPH R/IN
E2	USPAT	1 ENGEL, JUERGEN/IN
E3	USPAT	61> ENGEL, JURGEN/IN
E4	USPAT	2 ENGEL, KARL/IN
E5	USPAT	1 ENGEL, KARSTEN/IN
E6	USPAT	4 ENGEL, KLAUS/IN
E7	USPAT	1 ENGEL, KLAUS EBERHARD/IN
E8	USPAT	2 ENGEL, KLAUS G/IN
E9	USPAT	13 ENGEL, KURT/IN
E10	USPAT	2 ENGEL, L DAVID/IN
E11	USPAT	3 ENGEL, LARRY J/IN
E12	USPAT	1 ENGEL, LAURENCE G/IN

=> s e3

L1 61 "ENGEL, JURGEN"/IN

=> s 11 and steril? (10a) lyophil?

86403 STERIL? 23088 LYOPHIL? 2221 STERIL? (10A) LYOPHIL?

L2 1 L1 AND STERIL? (10A) LYOPHIL?

=> d bib ab kwic

US PAT NO: 4,716,242 [IMAGE AVAILABLE] L2: 1 of 1

DATE ISSUED: Dec. 29, 1987

TITLE: Salts of oxazaphosphorine derivatives

INVENTOR: **Jurgen Engel**, Alzenau, Federal Republic of Germany

Axel Kleemann, Muhlheim, Federal Republic of Germany Ulf Niemeyer, Bielefeld, Federal Republic of Germany Peter Hilgard, Bielefeld, Federal Republic of Germany

Joerg Pohl, Halle, Federal Republic of Germany

ASSIGNEE: Asta-Werke Aktiengesellschaft Chemische Fabrik, Bielefeld,

Federal Republic of Germany (foreign corp.)

APPL-NO: 06/704,465 DATE FILED: Feb. 22, 1985

ART-UNIT: 124

PRIM-EXMR: Anton H. Sutto

LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 4,716,242 [IMAGE AVAILABLE] L2: 1 of 1

ABSTRACT:

There are provided new antitumor salts of oxazaphosphorine derivatives of the formula ##STR1## where R.sub.1, R.sub.2, and R.sub.3 are the same or

different and represent hydrogen, methyl, ethyl, 2-chloroethyl, or 2-methanesulfonyloxyethyl and wherein at least two of these residues are 2-chloroethyl and/or 2-methanesulfonyl-oxyethyl and A is the group --S--alk--SO.sub.3 H or --N(OH)--CONH--alk--CO.sub.2 H and alk represents a C.sub.2 - C.sub.6 - alkylene residue optionally containing a mercapto group, whereby alk also can be -- CH. sub. 2 -- in case there is a carboxy group attached to the alk group, with homocysteinethiolactone or .alpha.-amino-.epsilon.-caprolactam or a basic compound of the formula: ##STR2## wherein R.sub.4 is a hydroxy group, an amino group or a C.sub.1 -C.sub.6 -alkoxy group, R.sub.5 is hydrogen or a difluoromethyl group, R.sub.6 is hydrogen, an indolyl-(3)-methyl residue, imidazolyl-(4)-methyl residue, a C.sub.1 -C.sub.10 -alkyl group or a C.sub.1 -C.sub.10 -alkyl group which is substituted by a hydroxy group, a C.sub.1 -C.sub.6 -alkoxy group, a mercapto group, a C.sub.1 -C.sub.6 -alkylmercapto group, a phenyl group, a hydroxy phenyl group, an amino-C.sub.1 -C.sub.6 -alkylmercapto group, an amino-C.sub.1 -C.sub.6 -alkoxy group, an amino group, an aminocarbonyl group, a ureido group (H.sub.2 NCONH--), a guanidino group or a C.sub.1 -C.sub.6 -alkoxycarbonyl group, or wherein R.sub.6 together with the structured portion >CR.sub.5 (NR.sub.7 R.sub.8) forms the proline residue, the 4-hydroxy-proline residue or the 2-oxo-3-amino-3-difluoromethyl-piperidine and the residues R.sub.7 and R.sub.8 represent hydrogen or C.sub.1 -C.sub.6 -alkyl residues.

=> e sauerbier, dieter/in

E#	FILE	FREQUENCY TERM
E1	USPAT	36 SAUERBERG, PER/IN
E2	USPAT	4 SAUERBIER, CHARLES E/IN
E3	USPAT	12> SAUERBIER, DIETER/IN
E4	USPAT	2 SAUERBIER, HEINZ/IN
Ē5	USPAT	3 SAUERBIER, MICHAEL/IN
E6	USPAT	2 SAUERBIER, REINER/IN
E7	USPAT	1 SAUERBREI, DARYL J/IN
E8	USPAT	1 SAUERBREY, ARNIM/IN
E9	USPAT	1 SAUERBREY, CHARLES A/IN
E10	USPAT	2 SAUERBREY, DAVID W/IN
E11	USPAT	1 SAUERBREY, DENNIS F/IN
E12	USPAT	1 SAUERBREY, HORST M/IN

=> s e3

L3 12 "SAUERBIER, DIETER"/IN

=> s 13 and steril? (10a) lyphophil?

86403 STERIL? 4 LYPHOPHIL? 0 STERIL? (10A) LYPHOPHIL? L4 0 L3 AND STERIL? (10A) LYPHOPHIL?

=> d 13 cit 1-

1. 5,750,131, May 12, 1998, Ifosfamide lyophilizate preparations;

Burkhard Wichert, et al., 424/422, 423; 514/54, 57, 59, 60, 110 [IMAGE AVAILABLE]

- 2. 5,728,738, Mar. 17, 1998, Injectable mesna solutions; Jurgen Engel, et al., 514/706, 709 [IMAGE AVAILABLE]
- 3. 5,696,172, Dec. 9, 1997, Injectable mesna solutions; Jurgen Engel, et al., 514/706 [IMAGE AVAILABLE]
- 4. 5,446,033, Aug. 29, 1995, Stabilized hexadecylphosphocholine solutions in glycerol alkyl ethers; Jurgen Engel, et al., 514/77, 723, 769, 784 [IMAGE AVAILABLE]
- 5. 5,358,718, Oct. 25, 1994, Tablet containing mesna as active substance and method of making same, **Dieter Sauerbier**, et al., 424/466, 464, 465, 474, 489, 514/772.3, 774, 777, 778, 781 [IMAGE AVAILABLE]
- 6. 5,262,169, Nov. 16, 1993, Tablets and granulates containing mesna as active substance; **Dieter Sauerbier**, et al., 424/465, 464, 469, 470, 474, 475, 489; 514/578, 770, 772.3, 774, 777, 778, 781, 784 [IMAGE AVAILABLE]
- 7. 5,252,341, Oct. 12, 1993, Tablets and granulates containing mesna as active substance; **Dieter Sauerbier**, et al., 424/489, 458, 464, 465, 470, 490 [IMAGE AVAILABLE]
- 8. 5,232,919, Aug. 3, 1993, Azelastine embonate and compositions which contain it, Gerhard Scheffler, et al., 514/212, 826; 540/599 [IMAGE AVAILABLE]
- 9. 5,204,335, Apr. 20, 1993, Ifosfamide lyophilisate and process for its preparation; **Dieter Sauerbier**, et al., 514/105, 79; 544/1; 558/81 [IMAGE AVAILABLE]
- 10. 5,158,776, Oct. 27, 1992, Solid oral dosage forms of ifosfamide; **Dieter Sauerbier**, et al., 424/451, 458, 463, 474, 482 [IMAGE AVAILABLE]
- 11. 4,959,215, Sep. 25, 1990, Ifosfamide-mesna lyophilizate and process for its preparation; **Dieter Sauerbier**, et al., 424/422, 423 [IMAGE AVAILABLE]
- 12. 4,952,575, Aug. 28, 1990, Solutions of oxaphosphorins having improved stability and process for the preparation thereof; **Dieter** **Sauerbier**, et al., 514/110 [IMAGE AVAILABLE]
- => s 13 and steril?

86403 STERIL? L5 8 L3 AND STERIL?

=> d bib ab 1-

US PAT NO: 5,750,131 [IMAGE AVAILABLE] L5: 1 of 8

DATE ISSUED: May 12, 1998

TITLE: Ifosfamide lyophilizate preparations

INVENTOR: Burkhard Wichert, Bielefeld, Federal Republic of Germany

Dieter Sauerbier, Oerlinghausen, Federal Republic of Germany

Jurgen Rawert, Werther, Federal Republic of Germany

ASSIGNEE: Asta Medica Aktiengesellschaft, Dresden, Federal Republic

of Germany (foreign corp.)

APPL-NO: 08/752,069 DATE FILED: Nov. 19, 1996

ART-UNIT: 121

PRIM-EXMR: Joseph McKane

LEGAL-REP: Cushman Darby & Cushman IP Group Of Pillsbury Madison &

Sutro, LLP

US PAT NO: 5,750,131 [IMAGE AVAILABLE] L5: 1 of 8

ABSTRACT:

The invention relates to improved ifosfamide preparations which are distinguished in that as primary auxiliary a polysaccharide, in general a glycan, preferably dextran, starches or cellulose, in particular dextrans having an MW of 20,000 to 85,000, modified starches such as hydroxyethyl starch and chemically modified celluloses such as hydroxyethylcellulose and sodium carboxymethylcellulose, a glycol ether, preferably polyethylene glycol, in particular polyethylene glycols having a molecular weight of 600 to 6000 or an amino acid, preferably alanine, leucine or glutamic acid, is added to them.

The improved ifosfamide preparation can also contain as an auxiliary a pharmaceutically customary buffer, for example acetate, citrate or tris buffer, preferably phosphate buffer.

In addition, improved ifosfamide preparations are obtained by addition of NaHCO.sub.3.

The ifosfamide preparations according to the invention can comprise one or a combination of several auxiliaries. Mesna can be added to the formulation as a uroprotector.

US PAT NO: 5,728,738 [IMAGE AVAILABLE] L5: 2 of 8

DATE ISSUED: Mar. 17, 1998

TITLE: Injectable mesna solutions

INVENTOR: Jurgen Engel, Alzenau, Federal Republic of Germany Elisabeth Wolf-Heuss, Mosbach, Federal Republic of Germany Wolfgang Deger, Frankfurt, Federal Republic of Germany Giancarlo Camuglia, Frankfurt, Federal Republic of Germany **Dieter Sauerbier**, Werther, Federal Republic of Germany

ASSIGNEE: ASTA Medica Aktiengesellschaft, Dresden, Federal Republic of Germany (foreign corp.)

APPL-NO: 08/474,246 DATE FILED: Jun. 7, 1995

ART-UNIT: 125

PRIM-EXMR: Theodore J. Criares

LEGAL-REP: Cushman Darby & Cushman IP Group of Pillsbury Madison &

Sutro LLP

US PAT NO: 5,728,738 [IMAGE AVAILABLE] L5: 2 of 8

ABSTRACT:

Injectable mesna solutions having a pH value higher than 7.5. The solutions have increased storage stability.

US PAT NO: 5,696,172 [IMAGE AVAILABLE] L5: 3 of 8

DATE ISSUED: Dec. 9, 1997

TITLE: Injectable mesna solutions

INVENTOR: Jurgen Engel, Alzenau, Federal Republic of Germany
Elisabeth Wolf-Heuss, Mosbach, Federal Republic of Germany
Wolfgang Deger, Frankfurt, Federal Republic of Germany
Giancarlo Camuglia, Frankfurt, Federal Republic of Germany
Dieter Sauerbier, Werther, Federal Republic of Germany

ASSIGNEE: ASTA Medica Aktiengesellschaft, Dresden, Federal Republic of Germany (foreign corp.)

APPL-NO: 08/636,821 DATE FILED: Apr. 23, 1996

ART-UNIT: 125

PRIM-EXMR: Theodore J. Criares

LEGAL-REP: Cushman Darby & Cushman, IP Group of Pillsbury Madison & Sutro LLP

US PAT NO: 5,696,172 [IMAGE AVAILABLE] L5: 3 of 8

ABSTRACT:

Injectable mesna solutions having a pH value higher than 7.5. The solutions have increased storage stability.

US PAT NO: 5,232,919 [IMAGE AVAILABLE] L5: 4 of 8

DATE ISSUED: Aug. 3, 1993

TITLE: Azelastine embonate and compositions which contain it
INVENTOR: Gerhard Scheffler, Hanau, Federal Republic of Germany
Dieter Sauerbier, Werther, Federal Republic of Germany
Jurgen Engel, Alzenau, Federal Republic of Germany

ASSIGNEE: Asta Pharma Aktiengesellschaft, Federal Republic of Germany (foreign corp.)

APPL-NO: 07/598,742 DATE FILED: Oct. 15, 1990

ART-UNIT: 125

PRIM-EXMR: Leonard Schenkman

LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 5,232,919 [IMAGE AVAILABLE] L5: 4 of 8

ABSTRACT:

An embonic acid salt of azelastine is disclosed which does not possess the bitter taste of azelastine, and which therefore is suitable for orally administered formulations.

US PAT NO: 5,204,335 [IMAGE AVAILABLE] L5: 5 of 8

DATE ISSUED: Apr. 20, 1993

TITLE: Ifosfamide lyophilisate and process for its preparation

INVENTOR: **Dieter Sauerbier**, Werther

Uwe-Peter Dammann, Detmold

Otto Isaac, Hanau, Federal Republic of Germany

ASSIGNEE: Asta Pharma Aktiengesellschaft, Federal Republic of

Germany (foreign corp.)

APPL-NO: 07/703,703 DATE FILED: May 21, 1991

ART-UNIT: 123

PRIM-EXMR: Alan L. Rotman

LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 5,204,335 [IMAGE AVAILABLE] L5: 5 of 8

ABSTRACT:

Ifosfamide lyophilizate consisting substantially of ifosfamide and 0.1 to 17 parts by weight of a hexitol.

US PAT NO: 5,158,776 [IMAGE AVAILABLE] L5: 6 of 8

DATE ISSUED: Oct. 27, 1992

TITLE: Solid oral dosage forms of ifosfamide

INVENTOR: **Dieter Sauerbier**, Werther, Federal Republic of Germany

Jurgen Engel, Alzenau, Federal Republic of Germany Eckhard Milsmann, Bielefeld, Federal Republic of Germany

Klaus Molge, Bielefeld, Federal Republic of Germany

Otto Isaac, Hanau, Federal Republic of Germany

ASSIGNEE: Asta Medica Aktiengesellschaft, Federal Republic of

Germany (foreign corp.)

APPL-NO: 07/733,756 DATE FILED: Jul. 24, 1991

ART-UNIT: 152

PRIM-EXMR: Thurman K. Page

LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 5,158,776 [IMAGE AVAILABLE] L5: 6 of 8

ABSTRACT:

Solid oral ifosfamide formulations comprising a capsule containing a mass which consists essentially of the active substance ifosfamide and microcrystalline cellulose, or in the form of tablets which contain, in relation to one part by weight of ifosfamide,

0.1-1.0 parts by weight of tribasic calcium phosphate and

0.04-0.4 parts by weight of polyethylene glycol as well as in addition, related to the weight of the tablet

5-60% by weight of a filling and flow regulating agent

1-10% by weight of a disintegrant

0.1-10% by weight of an antiadhesion agent and

0.1-80% by weight of a binding agent.

US PAT NO: 4,959,215 [IMAGE AVAILABLE] L5: 7 of 8

DATE ISSUED: Sep. 25, 1990

TITLE: Ifosfamide-mesna lyophilizate and process for its preparation

Dieter Sauerbier, Werther, Federal Republic of Germany INVENTOR:

Otto Isaac, Hanau, Federal Republic of Germany

Wolfgang P. Brade, Wehrheim, Federal Republic of Germany

Asta Pharma AG, Frankfurt am Main, Federal Republic of ASSIGNEE:

Germany (foreign corp.)

07/325,883 APPL-NO: DATE FILED: Mar. 20, 1989

ART-UNIT:

PRIM-EXMR: Thurman K. Page

Cushman, Darby & Cushman LEGAL-REP:

US PAT NO: 4.959.215 [IMAGE AVAILABLE] L5: 7 of 8

ABSTRACT:

An ifosfamide-mesna lyophilizate consists substantially of ifosfamide, 0.1-1.0 parts by weight of mesna and 0.1 to 17 parts by weight of hexitol. The product is obtained by freeze drying an aqueous or aqueous-ethanolic solution of ifosfamide and mesna.

US PAT NO: 4,952,575 [IMAGE AVAILABLE] L5: 8 of 8

DATE ISSUED: Aug. 28, 1990

Solutions of oxaphosphorins having improved stability and TITLE: process for the preparation thereof

Dieter Sauerbier, Werther, Federal Republic of Germany INVENTOR:

> Klaus Molge, Bielefeld, Federal Republic of Germany Werner Weigert, Bielefeld, Federal Republic of Germany Otto Issac, Hanau, Federal Republic of Germany

Asta Pharma Aktiengesellschaft, Frankfurt am Main, Federal ASSIGNEE: Republic of Germany (foreign corp.)

07/307,230 APPL-NO: DATE FILED: Feb. 6, 1989

ART-UNIT: 125

PRIM-EXMR: Jerome D. Goldberg

LEGAL-REP: Cushman, Darby & Cushman

US PAT NO: 4,952,575 [IMAGE AVAILABLE] L5: 8 of 8

ABSTRACT:

L6

Solutions comprising oxazaphosphorins having the general formula ##STR1## wherein R.sub.1, R.sub.2 and R.sub.3 are radicals and at least two of said radicals are 2-chloroethyl and/or 2-mathanesulfonyloxyethyl and the remaining radical is selected from hydrogen, methyl and ethyl; and about 80% to about 100% (v/v) ethanol; wherein the oxazaphosphorin concentration is about 10% to about 70% (w/v); and a process for the preparation thereof.

=> s composition? and steril? (10a) filter?

467142 COMPOSITION? 86403 STERIL? 449367 FILTER? 11429 STERIL? (10A) FILTER? 8384 COMPOSITION? AND STERIL? (10A) FILTER? => s l6 and lyophil?

23088 LYOPHIL? L7 2894 L6 AND LYOPHIL?

=> s 17 and (medicinal or pharmaceutical)

12892 MEDICINAL
74331 PHARMACEUTICAL
L8 2140 L7 AND (MEDICINAL OR PHARMACEUTICAL)

=> s 17 and medicinal

12892 MEDICINAL L9 245 L7 AND MEDICINAL

=> s 19 and cetrorelix

7 CETRORELIX L10 0 L9 AND CETRORELIX

=> s 19 and peptid?

29716 PEPTID? L11 84 L9 AND PEPTID?

=> d bib ab 1-25

US PAT NO: 5,776,459 L11: 1 of 84

DATE ISSUED: Jul. 7, 1998

TITLE: TCR V beta 5 **peptides**

INVENTOR: Arthur A. Vandenbark, Portland, OR

ASSIGNEE: Connetics Corporation, Palo Alto, CA (U.S. corp.)

APPL-NO: 08/476,405 DATE FILED: Jun. 7, 1995

ART-UNIT: 186

PRIM-EXMR: Thomas M. Cunningham LEGAL-REP: David A. Lowin, Esq.

US PAT NO: 5,776,459 L11: 1 of 84

ABSTRACT:

TCR **peptides** from the V.beta.5 family, particularly those encompassing at least a part of the second complementarity determining region, are useful, e.g., in the diagnosis and treatment of multiple sclerosis.

US PAT NO: 5,773,581 [IMAGE AVAILABLE] L11: 2 of 84

DATE ISSUED: Jun. 30, 1998

TITLE: Conjugate of a solution stable G-CSF derivative and a

water-soluble polymer

INVENTOR: Roger Camble, Macclesfield, England

David Timms, Macclesfield, England

Anthony James Wilkinson, Macclesfield, England

ASSIGNEE: Zeneca Limited, London, United Kingdom (foreign corp.)

APPL-NO: 08/488,457 DATE FILED: Jun. 7, 1995

ART-UNIT: 181

PRIM-EXMR: Jeffrey E. Russel

LEGAL-REP: Cushman Darby & Cushman Intellectual Property Group of

Pillsbury Madison & Sutro, LLP

US PAT NO: 5,773,581 [IMAGE AVAILABLE] L11: 2 of 84

ABSTRACT:

The present invention provides a conjugate of a solution stable G-CSF derivative and a water soluble polymer which is an acid stable physiologically active substance derived from naturally occurring G-CSF.

US PAT NO: 5,773,428 [IMAGE AVAILABLE] L11: 3 of 84

DATE ISSUED: Jun. 30, 1998

TITLE: Matrix metalloprotease inhibitors

INVENTOR: Arlindo Lucas Castelhano, New City, NY

Teng Jiam Liak, Mississauga, Canada Stephen Horne, Burlington, Canada Alexander Krantz, Menlo Park, CA

Zhengyu Yuan, Fremont, CA Jian Jeffrey Chen, Santa Clara, CA

Paul David Cannon, San Carlos, CA

Hal Van Wart, Los Altos, CA

ASSIGNEE: Syntex (U.S.A.) Inc., Palo Alto, CA (U.S. corp.)

APPL-NO: 08/597,062 DATE FILED: Feb. 5, 1996

ART-UNIT: 122

PRIM-EXMR: Robert T. Bond

LEGAL-REP: Heller Ehrman White & McAuliffe

US PAT NO: 5,773,428 [IMAGE AVAILABLE] L11: 3 of 84

ABSTRACT:

Compounds of formula (I): ##STR1## as single stereoisomers or mixtures thereof and their pharmaceutically acceptable salts inhibit matrix metalloproteases, such as interstitial collagenases, and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases, for example arthritic diseases or bone resorption diseases, such as osteoporosis.

US PAT NO: 5,770,573 [IMAGE AVAILABLE] L11: 4 of 84

DATE ISSUED: Jun. 23, 1998

TITLE: CS-1 **peptidomimetics**, **compositions** and methods of using the same

INVENTOR: Thomas S. Arrhenius, San Diego, CA

Mariano J. Elices, San Diego, CA Federico C.A. Gaeta, Olivenhain, CA

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/462,219 DATE FILED: Jun. 5, 1995

ART-UNIT: 181

PRIM-EXMR: Cecilia J. Tsang ASST-EXMR: Anish Gupta

LEGAL-REP: Campbell & Flores LLP

US PAT NO: 5,770,573 [IMAGE AVAILABLE] L11: 4 of 84

ABSTRACT:

The present invention contemplates a compound defined by the following formula: ##STR1## that inhibits the binding between the VLA-4 and the fibronectin CS-1 compound. Pharmaceutical **compositions** containing a contemplated compound and methods for treating immunoinflammatory conditions using the compound are also disclosed.

US PAT NO: 5,763,483 [IMAGE AVAILABLE] L11: 5 of 84

DATE ISSUED: Jun. 9, 1998

TITLE: Carbocyclic compounds

INVENTOR: Norbert W. Bischofberger, San Carlos, CA

Choung U. Kim, San Carlos, CA Willard Lew, San Mateo, CA Hongtao Liu, Foster City, CA

Matthew A. Williams, Foster City, CA

ASSIGNEE: Gilead Sciences, Inc., Foster City, CA (U.S. corp.)

APPL-NO: 08/774,345 DATE FILED: Dec. 27, 1996

ART-UNIT: 161

PRIM-EXMR: Donald G. Daus LEGAL-REP: Mark L. Bosse

US PAT NO: 5,763,483 [IMAGE AVAILABLE] L11: 5 of 84

ABSTRACT:

Novel carbocyclic compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical **compositions** comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

US PAT NO: 5,763,409 [IMAGE AVAILABLE] L11: 6 of 84

DATE ISSUED: Jun. 9, 1998

TITLE: Stable freeze-dried formulation comprising a protein assay

kit

INVENTOR: Alain Bayol, Tournefeuille, France

Thierry Breul, Montpellier, France

Patrice Dupin, Ramonville Saint Agne, France

Philippe Faure, Maurin, France

ASSIGNEE: Sanofi, Paris, France (foreign corp.)

APPL-NO: 08/432,839 DATE FILED: May 2, 1995

ART-UNIT: 181

PRIM-EXMR: Cecilia J. Tsang
ASST-EXMR: Abdel A. Mohamed

LEGAL-REP: Jacobson, Price, Holman & Stern, PLLC

US PAT NO: 5,763,409 [IMAGE AVAILABLE] L11: 6 of 84

ABSTRACT:

A stable, freeze-dried, and pharmaceutically acceptable formulation includes a protein, a buffer, alanine, and mannitol, at a mass ratio of mannitol/alanine being 0.1-1, wherein the formulation being useful in an assay kit.

US PAT NO: 5,753,635 [IMAGE AVAILABLE] L11: 7 of 84

DATE ISSUED: May 19, 1998

TITLE: Purine derivatives and their use as anti-coagulants

INVENTOR: Brad O. Buckman, Oakland, CA

Raju Mohan, Moraga, CA

Michael M. Morrissey, Richmond, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/689,979 DATE FILED: Aug. 16, 1996

ART-UNIT: 122

PRIM-EXMR: Mark L. Berch LEGAL-REP: Carol J. Roth

US PAT NO: 5,753,635 [IMAGE AVAILABLE] L11: 7 of 84

ABSTRACT:

This invention is directed to purine derivatives of the following formulae: ##STR1## wherein Z.sup.1 is --O--, --N(R.sup.10)-- or --CH.sub.2 O--;

Z.sup.2 is --O--, --N(R.sup.10)-- or --OCH.sub.2 --;

R.sup.1 and R.sup.4 are each independently hydrogen, halo, alkyl,

- --OR.sup.10, --C(O)OR.sup.10, --C(O)N(R.sup.10)R.sup.11,
- --N(R.sup.10)R.sup.11, --N(R.sup.10)C(O)R.sup.10, or --N(H)S(O).sub.2 R.sup.13 ,

R.sup.2 is -- C(NH)NH.sub.2, -- C(NH)N(H)OR.sup.10, --

C(NH)N(H)C(O)OR.sup.13, --C(NH)N(H)C(O)R.sup.10, --C(NH)N(H)S(O).sub.2

R.sup.13, or --C(NH)N(H)C(O)N(H)R.sup.10;

R.sup.3 is halo, alkyl, haloalkyl, haloalkoxy, ureido, cyano, guanidino,

- --OR.sup.10, --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.10,
- --C(O)N(R.sup.10)R.sup.11, --R.sup.12 --C(O)N(R.sup.10)R.sup.11,
- --CH(OH)C(O)N(R.sup.10)R.sup.11, --N(R.sup.10)R.sup.11, --R.sup.12
- --N(R.sup.10)R.sup.11, --C(O)OR.sup.10, --R.sup.12 --C(O)OR.sup.10,
- -N(R.sup.10)C(O)R.sup.10, (1,2)-tetrahydropyrimidinyl (optionally

substituted by alkyl), (1,2)-imidazolyl (optionally substituted by

alkyl), or (1,2)-imidazolinyl (optionally substituted by alkyl);

R.sup.5 is hydrogen, halo, alkyl, cycloalkyl, haloakyl, aryl, aralkyl, alkylthio, hydroxy, mercapto, alkoxy, or --N(R.sup.10)R.sup.11;

and R.sup.6 is defined herein. These compounds are useful as anti-coagulants.

This invention is also directed to pharmaceutical **compositions** containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

US PAT NO: 5,753,262 [IMAGE AVAILABLE]

L11: 8 of 84

DATE ISSUED: May 19, 1998

TITLE: Cationic lipid acid salt of 3beta[N-(N',

N'-dimethylaminoethane) - carbamoyl]cholestrol and halogenated solvent-free preliposomal **lyophilate**

thereof

 $INVENTOR: \quad Joseph \ W. \ Wyse, \ The \ Woodlands, \ TX$

Charles D. Warner, The Woodlands, TX

ASSIGNEE: Aronex Pharmaceuticals, Inc., The Woodlands, TX (U.S.

corp.)

APPL-NO: 08/485,866 DATE FILED: Jun. 7, 1995

ART-UNIT: 185

PRIM-EXMR: James Ketter
ASST-EXMR: John S. Brusca
LEGAL-REP: Lorusso & Loud

US PAT NO: 5,753,262 [IMAGE AVAILABLE]

L11: 8 of 84

ABSTRACT:

This invention discloses a novel cationic lipid acid salt of 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol. This invention further discloses a transmembrane compatible body suitable for transfection of animals and animal cells with nucleotides such as DNA, RNA, and synthetic nucleotides. Such transmembrane compatible bodies arise from hydratable non-liposomal halogenated solvent-free **lyophilate** comprising 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol and DOPE. This invention yet further discloses a

carbamoyl]cholesterol and DOPE. This invention yet further discloses a halogenated solvent-free aqueous solution, suitable for **lyophilization** into a preliposomal powder, wherein the solution

comprises 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol wherein substantially all 3.beta.[N-(N',N'-dimethylaminoethane)-carbamoyl]cholesterol is dissolved.

US PAT NO: 5,750,508 [IMAGE AVAILABLE] L11: 9 of 84

DATE ISSUED: May 12, 1998

TITLE: Sialic acid/fucose based medicaments INVENTOR: Falguni Dasgupta, Alameda, CA John Henry Musser, San Carlos, CA

ASSIGNEE: Glycomed Incorporated, Alameda, CA (U.S. corp.)

APPL-NO: 08/078,949 DATE FILED: Jun. 16, 1993

ART-UNIT: 121

PRIM-EXMR: Kathleen K. Fonda LEGAL-REP: Lyon & Lyon

US PAT NO: 5,750,508 [IMAGE AVAILABLE] L11: 9 of 84

ABSTRACT:

Compounds that are synthetically inexpensive to make relative to the naturally occurring selectin ligands and that retain selectin binding activity are described that have a three-dimensionally stable configuration for sialic acid and fucose, or analogs or derivatives of these groups, such that sialic acid and fucose are separated by a non-carbohydrate linker that permits binding between those groups and the selectins, such compounds being represented by the following general structure formula I(a): ##STR1## wherein m and n are independently an integer of from 1 to 5, Y and Z are independently a connecting moiety selected from the group consisting of --CH.sub.2 --, --O--, --S--, --NR'and --NR'R"--(wherein R' and R" are independently H or an alkyl containing 1 to 4 carbon atoms); X is a connecting moiety which is selected from the group consisting of --O--, --S-- and --N--; and --R" may be --R'" or any moiety which does not interfere with the three-dimensional configuration of A or B so as to interfere with selectin binding and is preferably a moiety selected from the group consisting of --OR", --SR", --I, --N.sub.3, and --NR'R", and A is selected from the group consisting of .alpha. and .beta. forms of sialic acid, Kemp's acid. Quinic acid, Glyceric acid, Lactic acid and acetic acid, and esters thereof and B is selected from the group consisting of alpha, and .beta, forms of L-Fucose and esters and substituted forms thereof wherein one or more of the --OH groups is independently --F, or --NR.sup.IV, R.sup.V wherein R.sup.IV and R.sup.V are independently an alkyl contain 1 to 5 carbons.

US PAT NO: 5,747,031 [IMAGE AVAILABLE] L11: 10 of 84

DATE ISSUED: May 5, 1998

TITLE: Process for isolating immunoglobulins in whey

INVENTOR: Frank E. Ruch, Falmouth, ME

Elizabeth A. Acker, New Gloucester, ME

ASSIGNEE: ImmuCell Corporation, Portland, MA (U.S. corp.)

APPL-NO: 08/539,539 DATE FILED: Oct. 5, 1995

ART-UNIT: 183

PRIM-EXMR: Lynette F. Smith ASST-EXMR: Brett Nelson LEGAL-REP: Kevin M. Farrell

US PAT NO: 5,747,031 [IMAGE AVAILABLE] L11: 10 of 84

ABSTRACT:

The present invention is directed to a process of isolating immunoglobulins from whey or whey concentrate and a concentrated immunoglobulin product which is highly purified. The process features the co-precipitation of lipids and non-immunoglobulin proteins simultaneously with a charged polymer and a fatty acid.

US PAT NO: 5,744,479 [IMAGE AVAILABLE] L11: 11 of 84

DATE ISSUED: Apr. 28, 1998

TITLE: Thienopyridine compounds which have useful pharmaceutical activity

INVENTOR: Shuichi Furuya, Tsukuba, Japan Nobuo Choh, Tsukuba, Japan Masataka Harada, Tsukuba, Japan Satoshi Sasaki, Tsukuba, Japan

ASSIGNEE: Takeda Chemical Industries, Ltd., Osaka, Japan (foreign

corp.)

APPL-NO: 08/779,608 DATE FILED: Jan. 7, 1997

ART-UNIT: 123

PRIM-EXMR: Zinna Northington Davis

LEGAL-REP: Foley & Lardner

US PAT NO: 5,744,479 [IMAGE AVAILABLE] L11: 11 of 84

ABSTRACT:

The present thienopyrimidine derivatives and **compositions** having gonadotropin-releasing hormone antagonistic activity are useful as propylactics or therapeutic agents for the prevention or treatment of several hormone dependent diseases, for example, a sex hormone dependent cancer (e.g. prostatic cancer, uterine cervical cancer, breast cancer, pituitary adenoma), benign prostatic hypertrophy, myoma of the uterus, endometriosis, precocious puberty, amenorrhea, premenstrual syndrome, polycystic ovary syndrome and acne vulgaris; are effective as a fertility controlling agent in both sexes (e.g. a pregnancy controlling agent and a menstrual cycle controlling agent); can be used as a male or female contraceptive, as an ovulation-inducing agent; can be used as an infertility treating agent by using a rebound effect owing to a stoppage of administration thereof; and are useful for modulating estrous cycles in animals in the field of animal husbandry, as agents for improving the quality of edible meat or promoting the growth of animals; and as agents for promoting spawning in fish.

US PAT NO: 5,731,311 [IMAGE AVAILABLE] L11: 12 of 84

DATE ISSUED: Mar. 24, 1998

TITLE: N.N-di(aryl) cyclic urea derivatives as anti-coagulants

INVENTOR: Raju Mohan, Moraga, CA Michael M. Morrissey, Danville, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/764,374 DATE FILED: Dec. 12, 1996

ART-UNIT: 123

PRIM-EXMR: Patricia L. Morris LEGAL-REP: Carol J. Roth

US PAT NO: 5,731,311 [IMAGE AVAILABLE] L11: 12 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11

R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or --N(H)S(O).sub.2 R.sup.11; R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2, --C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,

--OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12; R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or aryl (optionally substituted by one or more substituents selected from the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy and --N(R.sup.11)R.sup.12); R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or

R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl; or

R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl,

N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,731,308 [IMAGE AVAILABLE] L11: 13 of 84

DATE ISSUED: Mar. 24, 1998

TITLE: N,N-di(aryl) cyclic urea derivatives as anti-coagulants

INVENTOR: Raju Mohan, Moraga, CA Michael M. Morrissey, Danville, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/764,133 DATE FILED: Dec. 12, 1996

ART-UNIT: 123

PRIM-EXMR: Patricia L. Morris

LEGAL-REP: Carol J. Roth

US PAT NO: 5,731,308 [IMAGE AVAILABLE] L11: 13 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11

R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --

C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or --N(H)S(O).sub.2 R.sup.11;

R.sup.4 is halo, lower haloalkyl, imidazolyl, --C (NH)NH.sub.2,

--C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,

--OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n

is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12;

R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or aryl (optionally substituted by one or more substituents selected from the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy and --N(R.sup.11)R.sup.12);

R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl; or

R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl,

N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,728,697 [IMAGE AVAILABLE] L11: 14 of 84

DATE ISSUED: Mar. 17, 1998

TITLE: N,N-di(aryl) cyclic urea derivatives as anti-coagulants

INVENTOR: Raju Mohan, Moraga, CA Michael M. Morrissey, Danville, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/766,373 DATE FILED: Dec. 12, 1996

ART-UNIT: 123

PRIM-EXMR: Patricia L. Morris LEGAL-REP: Carol J. Roth

US PAT NO: 5,728,697 [IMAGE AVAILABLE] L11: 14 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2, --C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11

R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --

C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or --N(H)S(O).sub.2 R.sup.11;

R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2,

--C(NH)NHOR.sup.11, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n is 0 to

6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12;

R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or aryl (optionally substituted by one or more substituents selected from the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy and --N(R.sup.11)R.sup.12);

R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl, or

R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl,

N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,726,198 [IMAGE AVAILABLE] L11: 15 of 84

DATE ISSUED: Mar. 10, 1998

TITLE: N.N-di(arvl) cyclic urea derivatives as anti-coagulants

INVENTOR: Raju Mohan, Moraga, CA Michael M. Morrissey, Danville, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/766,152 DATE FILED: Dec. 12, 1996

ART-UNIT: 123

PRIM-EXMR: Patricia L. Morris LEGAL-REP: Carol J. Roth

US PAT NO: 5,726,198 [IMAGE AVAILABLE] L11: 15 of 84

ABSTRACT:

N,N-di(aryl) cyclic urea derivatives, such as the compounds of the

```
following formula: ##STR1## wherein R.sup.1 is -- C(NH)NH.sub.2,
--C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11
R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower
 haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --
 C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or
 --N(H)S(O).sub.2 R.sup.11;
R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2,
 --C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,
 --OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n
 is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12;
R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower
 haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or
 aryl (optionally substituted by one or more substituents selected from
 the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl,
 lower alkoxy and --N(R.sup.11)R.sup.12);
R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or
 lower aralkyl; or
R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl,
 N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable
 salt thereof, are disclosed herein as being inhibitors of factor Xa and
 thereby being useful as anticoagulants.
US PAT NO: 5,726,173 [IMAGE AVAILABLE]
                                                        L11: 16 of 84
DATE ISSUED: Mar. 10, 1998
           N.N-di (aryl) cyclic urea derivatives as anti-coagulants
TITLE:
INVENTOR:
               Raju Mohan, Moraga, CA
        Michael M. Morrissey, Danville, CA
               Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)
ASSIGNEE:
APPL-NO:
              08/762,888
DATE FILED: Dec. 12, 1996
ART-UNIT:
               123
PRIM-EXMR: Patricia L. Morris
LEGAL-REP:
               Carol J. Roth
US PAT NO: 5,726,173 [IMAGE AVAILABLE]
                                                        L11: 16 of 84
ABSTRACT:
N,N-di(aryl) cyclic urea derivatives, such as the compounds of the
following formula: ##STR1## wherein R.sup.1 is --C(NH)NH.sub.2,
--C(NH)N(H)OR.sup.11, --C(NH)N(H)C(O)R.sup.9, or --C(NH)N(H)C(O)OR.sup.11
R.sup.2 and R.sup.3 are independently hydrogen, halo, lower alkyl, lower
haloalkyl, aryl, --OR.sup.11, --C(O)OR.sup.11, --
C(O)N(R.sup.11)R.sup.12, --N(R.sup.11)R.sup.12, --N(H)C(O)R.sup.11, or
--N(H)S(O).sub.2 R.sup.11,
R.sup.4 is halo, lower haloalkyl, imidazolyl, --C(NH)NH.sub.2,
--C(NH)NHOR.sup.11, --C(NH)N(H)C(O)R.sup.9, --C(NH)N(H)C(O)OR.sup.11,
--OR.sup.11, --C(O)R.sup.13, --(CH.sub.2).sub.n C(O)OR.sup.11 (where n
is 0 to 6), --C(O)N(R.sup.11)R.sup.12, or --N(R.sup.11)R.sup.12;
R.sup.7 and R.sup.8 are independently hydrogen, lower alkyl, lower
haloalkyl, 4-pyridinyl, --C(O)OR.sup.11, --C(O)N(R.sup.11)R.sup.12, or
aryl (optionally substituted by one or more substituents selected from
the group consisting of halo, hydroxy, lower alkyl, lower haloalkyl,
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lower alkoxy and --N(R.sup.11)R.sup.12);

R.sup.11 and R.sup.12 are independently hydrogen, lower alkyl, aryl or lower aralkyl, or

R.sup.13 is pyrrolidinyl, 4-morpholinyl, piperazinyl,

N-methylpiperazinyl, or piperidinyl; or a pharmaceutically acceptable salt thereof, are disclosed herein as being inhibitors of factor Xa and thereby being useful as anticoagulants.

US PAT NO: 5,717,095 [IMAGE AVAILABLE] L11: 17 of 84

DATE ISSUED: Feb. 10, 1998 TITLE: Nucleotide analogs

INVENTOR: Murty N. Arimilli, Fremont, CA

Robert J. Jones, Millbrae, CA Ernest J. Prisbe, Los Altos, CA

ASSIGNEE: Gilead Sciences, Inc., Foster City, CA (U.S. corp.)

APPL-NO: 08/774,240 DATE FILED: Dec. 27, 1996

ART-UNIT: 121

PRIM-EXMR: Michael G. Ambrose LEGAL-REP: Daryl D. Muenchau

US PAT NO: 5,717,095 [IMAGE AVAILABLE] L11: 17 of 84

ABSTRACT:

A cyclic nucleotide phosphonate ester characterized by the presence of an n-butyl salicylate ester group linked to the phosphorus atom of cHPMPC is disclosed. The analog comprises an ester bond that is hydrolyzed in vivo to yield a corresponding phosphonate nucleotide analog.

US PAT NO: 5,714,140 [IMAGE AVAILABLE] L11: 18 of 84

DATE ISSUED: Feb. 3, 1998

TITLE: Method for inhibiting the production of bioactive IL-1 by administering M-CSF

INVENTOR: Gideon Strassmann, Washington, DC

ASSIGNEE: Otsuka Pharmaceutical Co., Ltd., Japan (foreign corp.)

APPL-NO: 08/347,254
DATE FILED: Nov. 23, 1994

ART-UNIT: 182

PRIM-EXMR: John Ulm ASST-EXMR: Prema Mertz

LEGAL-REP: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.

US PAT NO: 5,714,140 [IMAGE AVAILABLE] L11: 18 of 84

ABSTRACT:

This invention provides medical uses of a M-CSF, particularly a method and **composition** for treating inflammatory disease and allergy using natural M-CSF or recombinant M-CSF or the derivatives thereof.

US PAT NO: 5,707,974 [IMAGE AVAILABLE] L11: 19 of 84

DATE ISSUED: Jan. 13, 1998

TITLE: Method of synthesis of 2-O-desulfated heparin and use

thereof for inhibition of elastase and cathepsin G

INVENTOR: Thomas P. Kennedy, Richmond, VA

ASSIGNEE: Cavalier Pharmaceuticals, Richmond, VA (U.S. corp.)

APPL-NO: 08/478,199 DATE FILED: Jun. 7, 1995

ART-UNIT: 188

PRIM-EXMR: Herbert J. Lilling LEGAL-REP: Needle & Rosenberg

US PAT NO: 5,707,974 [IMAGE AVAILABLE] L11: 19 of 84

ABSTRACT:

A method and medicament for the inhibition of neutrophil elastase and cathepsin G in mammals comprising administering a treatment effective amount of 2-O-desulfated heparin to a mammal in need thereof. The medicament preferably is administered by aerosolization or by intravenous (IV) injection. Preferably, the 2-O-desulfated heparin medicament includes a physiologically acceptable carrier which may be selected from the group consisting of physiologically buffered saline, normal saline, and distilled water. Additionally provided is a method of synthesizing 2-O-desulfated heparin.

US PAT NO: 5,705,658 [IMAGE AVAILABLE] L11: 20 of 84

DATE ISSUED: Jan. 6, 1998

TITLE: Azido containing tetrahydro furan compounds INVENTOR: Richard Goschke, Bottmingen, Switzerland

Jurgen Klaus Maibaum, Weil-Haltingen, Federal Republic of

Germany

Walter Schilling, Himmelried, Switzerland

Stefan Stutz, Basel, Switzerland

Pascal Rigollier, Sierentz, France

Yasuchika Yamaguchi, Basel, Switzerland

Nissim Claude Cohen, Village-Neuf, France

Peter Herold, Arlesheim, Switzerland

ASSIGNEE: Novartis Corporation, Summit, NJ (U.S. corp.)

APPL-NO: 08/800,671 DATE FILED: Feb. 14, 1997

ART-UNIT: 121

PRIM-EXMR: Robert W. Ramsuer LEGAL-REP: Gregory D. Ferraro

US PAT NO: 5,705,658 [IMAGE AVAILABLE] L11: 20 of 84

ABSTRACT:

delta.-Amino-.gamma.-hydroxy-.omega.-aryl-alkanoic acid amides of formula I ##STR1## and the salts thereof, have renin-inhibiting properties and can be used as antihypertensive **medicinal** active ingredients.

US PAT NO: 5,693,641 [IMAGE AVAILABLE] L11: 21 of 84

DATE ISSUED: Dec. 2, 1997

TITLE: Bicyclic pyrimidine derivatives and their use as anti-coagulants

INVENTOR: Brad O. Buckman, Oakland, CA

Raju Mohan, Moraga, CA

Michael M. Morrissey, Danville, CA

ASSIGNEE: Berlex Laboratories Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/699,372 DATE FILED: Aug. 16, 1996

ART-UNIT: 122

PRIM-EXMR: Matthew V. Grumbling

ASST-EXMR: Bruck Kifle LEGAL-REP: Carol J. Roth

US PAT NO: 5,693,641 [IMAGE AVAILABLE] L11: 21 of 84

ABSTRACT:

This invention is directed to bicyclic pyrimidine derivatives which are useful as anti-coagulants. This invention is also directed to pharmaceutical **compositions** containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

US PAT NO: 5,691,364 [IMAGE AVAILABLE] L11: 22 of 84

DATE ISSUED: Nov. 25, 1997

TITLE: Benzamidine derivatives and their use as anti-coagulants

INVENTOR: Brad O. Buckman, Oakland, CA

David D. Davey, El Sobrante, CA

William J. Guilford, San Leandro, CA

Michael M. Morrissey, Danville, CA

Howard P. Ng, El Sobrante, CA

Gary B. Phillips, Pleasant Hill, CA

Shung C. Wu, El Cerrito, CA

Wei Xu, Richmond, CA

ASSIGNEE: Berlex Laboratories, Inc., Richmond, CA (U.S. corp.)

APPL-NO: 08/473,385 DATE FILED: Jun. 7, 1995

ART-UNIT: 123

PRIM-EXMR: Alan L. Rotman LEGAL-REP: Carol J. Roth

US PAT NO: 5,691,364 [IMAGE AVAILABLE] L11: 22 of 84

ABSTRACT:

This invention is directed to benzamidine derivatives which are useful as anti-coagulants. This invention is also directed to pharmaceutical **compositions** containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

US PAT NO: 5,686,486 [IMAGE AVAILABLE] L11: 23 of 84

DATE ISSUED: Nov. 11, 1997

TITLE: 4-hydroxy-benzopyran-2-ones and 4-hydroxy-cycloalkyl[b]pyran-2-ones useful to treat retroviral

infections

INVENTOR: Paul Kosta Tomich, Kalamazoo, MI

Michael John Bohanon, Gobles, MI

Steven Ronald Turner, Kalamazoo, MI

Joseph Walter Strohbach, Mendon, MI

Suvit Thaisrivongs, Kalamazoo, MI

Richard C. Thomas, Kalamazoo, MI

Karen Rene Romines, Paw Paw, MI

Chih-Ping Yang, Taipei, tAIWAN, pROVINCE OF cHINA

Paul Adrian Aristoff, Kalamazoo, MI

Harvey Irving Skulnick, Kalamazoo, MI

Paul D. Johnson, Portage, MI

Ronald B. Gammill, Portage, MI

Qingwei Zhang, Kalamazoo, MI

Gordon L. Bundy, Portage, MI

David John Anderson, Kalamazoo, MI

Lee S. Banitt, Kalamazoo, MI

ASSIGNEE: Pharmacia & Upjohn Company, Kalamazoo, MI (U.S. corp.)

APPL-NO:

08/492,068

DATE FILED: Aug. 4, 1995

ART-UNIT:

123

PRIM-EXMR: C

C. Warren Ivy

ASST-EXMR:

D. Margaret M. Mach

LEGAL-REP:

Martha A. Gammill

US PAT NO:

5,686,486 [IMAGE AVAILABLE]

L11: 23 of 84

ABSTRACT:

The present invention relates to compounds of formula I which are 4-hydroxy-benzopyran-2-ones and 4-hydroxy-cycloalkyl[b]pyran-2-ones useful for inhibiting a retrovirus in a mammalian cell infected with said retrovirus. ##STR1## Wherein R.sub.10 and R.sub.20 taken together are: ##STR2##

US PAT NO: 5,679,665 [IMAGE AVAILABLE]

L11: 24 of 84

DATE ISSUED: Oct. 21, 1997

TITLE: Pharmaceutical form

Pharmaceutical formulation comprised of

polymyxintrimethoprim and an anti-inflammatory drug for

ophthalmic and otic topical use

INVENTOR: Michael Van Wie Bergamini, El Masnou, Spain

Teresa Borras Sanjurjo, El Masnou, Spain

Jordi Coll Colomer, Barcelona, Spain

Ricardo Notivol Paino, Barcelona, Spain

Carmen Oros Laguens, Barcelona, Spain

Jose Alberto Vallet Mas, Barcelona, Spain

ASSIGNEE: Laboratorios Cusi, S.A., El Masnou, Spain (foreign corp.)

APPL-NO:

08/549,556

DATE FILED: Oct. 27, 1995

ART-UNIT:

: 125

PRIM-EXMR:

Zohreh Fay

LEGAL-REP:

Darby & Darby

US PAT NO:

5,679,665 [IMAGE AVAILABLE]

L11: 24 of 84

ABSTRACT:

It comprises: 0.005-1.0% of trimethoprim or a pharmaceutically acceptable salt thereof: 0.01-0.3% of polymyxin or a pharmaceutically acceptable salt thereof: 0.001-5% of a steroidal or non-steroidal anti-inflammatory drug and, optionally, one or more ingredients selected from among isotonizing agents, pH buffers, viscosity modifying agents, wetting agents, chelating agents, anti-oxidants, preservatives and vehicles. The formulation has a pH between 4 and 8.5.

It is applicable in the treatment of ophthalmic and otic infections accompanied by inflammation.

US PAT NO: 5.679,321 [IMAGE AVAILABLE] L11: 25 of 84

DATE ISSUED: Oct. 21, 1997

TITLE: Sialic acid/fucose based medicaments
INVENTOR: Falguni Dasgupta, Alameda, CA
John Henry Musser, San Carlos, CA

ASSIGNEE: Glycomed Incorporated, Alameda, CA (U.S. corp.)

APPL-NO: 08/468,788 DATE FILED: Jun. 6, 1995

ART-UNIT: 187

PRIM-EXMR: Paula K. Hutzell
ASST-EXMR: N. M. Minnifield
LEGAL-REP: Lyon & Lyon LLP

US PAT NO: 5,679,321 [IMAGE AVAILABLE] L11: 25 of 84

ABSTRACT:

Compounds that are synthetically inexpensive to make relative to the naturally occurring selectin ligands and that retain selectin binding activity are described that have a three-dimensionally stable configuration for sialic acid and fucose, or analogs or derivatives of these groups, such that sialic acid and fucose are separated by a non-carbohydrate linker that permits binding between those groups and the selectins, such compounds being represented by the following general structural formula I(a): ##STR1## wherein m and n are independently an integer of from 1 to 5, Y and Z are independently a connecting moiety selected from the group consisting of --CH.sub.2 --, --O--, --S--, --NR' and --NR'R"-- (wherein R' and R" are independently H or an alkyl containing 1 to 4 carbon atoms); X is a connecting moiety which is selected from the group consisting of --O--, --S-- and --N--; and --R" may be --R" or any mojety which does not interfere with the three-dimensional configuration of A or B so as to interfere with selectin binding and is preferably a moiety selected from the group consisting of --OR", --SR", --I, --N.sub.3, and --NR'R", and A is selected from the group consisting of .alpha. and .beta. forms of sialic acid, Kemp's acid, Quinic acid, Glyceric acid, Lactic acid and acetic acid, and esters thereof and B is selected from the group consisting of .alpha. and .beta. forms of L-Fucose and esters and substituted forms thereof wherein one or more of the --OH groups is independently --F, or --NR.sup.IV, R.sup.V wherein R.sup.IV and R.sup.V are independently an alkyl contain 1 to 5 carbons.

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L12 32 L8 AND FILTER STERILIZATION

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US PAT NO: 5,763,585 [IMAGE AVAILABLE] L12: 1 of 32

DATE ISSUED: Jun. 9, 1998

TITLE: Method of making MHC-peptide complexes using metal chelate

affinity chromatography

INVENTOR: Bishwajit Nag, Pacifica, CA

ASSIGNEE: Anergen, Inc., Redwood City, CA (U.S. corp.)

APPL-NO: 08/227,372 DATE FILED: Apr. 14, 1994

ART-UNIT: 187

PRIM-EXMR: Anthony C. Caputa

LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,763,585 [IMAGE AVAILABLE] L12: 1 of 32

ABSTRACT:

The present invention provides a method for the purification and characterization of MHC-peptide complexes useful in ameliorating immunological disorders, such as, for example, autoimmune diseases, allergic responses and transplant responses. The method disclosed is a one-step method based on the use of metal chelate affinity chromatography to separate the MHC-peptide complexes of interest from both uncomplexed MHC molecules and other endogenous MHC-peptide bound complexes.

US PAT NO: 5,753,631 [IMAGE AVAILABLE] L12: 2 of 32

DATE ISSUED: May 19, 1998

TITLE: Intercellular adhesion mediators

INVENTOR: James C. Paulson, Sherman Oaks, CA

Mary S. Perez, Carlsbad, CA Federico C. A. Gaeta, La Jolla, CA Robert M. Ratcliffe, Carlsbad, CA

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/457,886 DATE FILED: May 31, 1995

ART-UNIT: 121

PRIM-EXMR: Kathleen K. Fonda

LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,753,631 [IMAGE AVAILABLE] L12: 2 of 32

ABSTRACT:

The present invention is directed towards **compositions** and methods for reducing or controlling inflammation and for treating inflammatory disease processes and other pathological conditions mediated by intercellular adhesion. The **compositions** of the invention include compounds that selectively bind selectin receptors, the selectin binding activity being mediated by a carbohydrate moiety. The selectin-binding moieties of the invention are derivatives of a sialylated, fucosylated

N-acetyllactosamine unit of the Lewis X antigen. Compounds containing a selectin-binding moiety in both monovalent and multivalent forms are included in the invention. The compounds of the invention are provided as **pharmaceutical** **compositions** which include, for example, liposomes that carry selectin-binding moieties of the invention. The invention further includes immunoglobulins capable of selectively binding an oligosaccharide ligand that is recognized by a selectin receptor.

US PAT NO: 5,753,613 [IMAGE AVAILABLE] L12: 3 of 32

DATE ISSUED: May 19, 1998

TITLE: **Compositions** for the introduction of polyanionic

materials into cells

INVENTOR: Steven Michial Ansell, Vancouver, Canada

Barbara Mui, Vancouver, Canada

Michael Hope, Vancouver, Canada

ASSIGNEE: Inex Pharmaceuticals Corporation, Vancouver, Canada

(foreign corp.)

APPL-NO: 08/442,267 DATE FILED: May 16, 1995

ART-UNIT: 127

PRIM-EXMR: Nathan M. Nutter

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,753,613 [IMAGE AVAILABLE] L12: 3 of 32

ABSTRACT:

The present invention provides **compositions** and methods which are useful for the introduction of polyanionic materials into cells. The **compositions** are mixtures of cationic compounds and neutral lipids which are typically formulated as liposomes. The cationic compounds are quaternary ammonium compounds wherein the nitrogen has two attached long chain alkyl groups, at least one of which is unsaturated. The methods for transfecting cells involve (a) contacting the polyanionic materials with the **compositions** above to form a polyanionic material-liposome complex, and (b) contacting the complex with the cells to be transfected.

US PAT NO: 5,753,204 [IMAGE AVAILABLE] L12: 4 of 32

DATE ISSUED: May 19, 1998

TITLE: Biosynthetic binding proteins for immunotargeting

INVENTOR: James S. Huston, Chestnut Hill, MA

L. L. Houston, Oakland, CA

David B. Ring, Redwood City, CA

Hermann Oppermann, Medway, MA

ASSIGNEE: Chiron Corporation, Emeryville, CA (U.S. corp.)

Creative BioMolecules, Inc., Hopkinton, MA (U.S. corp.)

APPL-NO: 08/461,838 DATE FILED: Jun. 5, 1995

ART-UNIT: 186

PRIM-EXMR: Frank C. Eisenschenk

LEGAL-REP: Testa Hurwitz & Thibeault, LLP

US PAT NO: 5,753,204 [IMAGE AVAILABLE] L12: 4 of 32

ABSTRACT:

Disclosed is a formulation for targeting an epitope on an antigen expressed in a mammal. The formulation comprises a pharmaceutically acceptable carrier together with a dimeric biosynthetic construct for binding at least one preselected antigen. The biosynthetic construct contains two polypeptide chains, each of which define single-chain Fv (sFv) binding proteins and have C-terminal tails that facilitate the crosslinking of two sFv polypeptides. The resulting dimeric constructs have a conformation permitting binding of a preselected antigen by the binding site of each polypeptide chain when administered to a mammal. The formulation has particular utility in in vivo imaging and drug targeting experiments.

US PAT NO: 5,736,139 [IMAGE AVAILABLE] L12: 5 of 32

DATE ISSUED: Apr. 7, 1998

TITLE: Treatment of Clostridium difficile induced disease

INVENTOR: John A. Kink, Madison, WI Bruce S. Thalley, Madison, WI

Douglas C. Stafford, Madison, WI Joseph R. Firca, Vernon Hills, IL

Nisha V. Padhye, Madison, WI

ASSIGNEE: Ochidian Pharmaceuticals, Inc., Madison, WI (U.S. corp.)

APPL-NO: 08/480,604 DATE FILED: Jun. 7, 1995

ART-UNIT: 186

PRIM-EXMR: Frank C. Eisenschenk LEGAL-REP: Medlen & Carroll, LLP

US PAT NO: 5,736,139 [IMAGE AVAILABLE] L12: 5 of 32

ABSTRACT:

The present provides neutralizing antitoxin directed against C. difficile toxins. These antitoxins are produced in arian species using soluble recombinant C. difficile toxin proteins. The avian antitoxins are designed so as to be orally administrable in therapeutic amounts and may be in any form (i.e., as a solid or in aqueous solution). Solid forms of the antitoxin may comprise an enteric coating. These antitoxins are useful in the treatment of humans and other animals intoxicated with at least one bacterial toxin. The invention further provides vaccines capable of protecting a vaccinated recipient from the morbidity and mortality associated with C. difficile infection. These vaccines are useful for administration to humans and other animals at risk of exposure to C. difficile toxins.

US PAT NO: 5,734,023 [IMAGE AVAILABLE] L12: 6 of 32

DATE ISSUED: Mar. 31, 1998

TITLE: MHC class II .beta. chain/peptide complexes useful in

ameliorating deleterious immune responses

INVENTOR: Bishwajit Nag, Pacifica, CA

Brian R. Clark, Redwood City, CA Somesh Sharma, Los Altos, CA Harden McConnell, Stanford, CA

ASSIGNEE: Anergen Inc., Redwood City, CA (U.S. corp.)

APPL-NO: 08/483,021 DATE FILED: Jun. 7, 1995

ART-UNIT: 186

PRIM-EXMR: Thomas M. Cunningham

LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,734,023 [IMAGE AVAILABLE] L12: 6 of 32

ABSTRACT:

The present invention is directed to complexes comprising an isolated MHC subunit component, an antigenic peptide and, in some cases, an effector component. The antigenic peptide is associated with the antigen binding site of the MHC subunit component. These complexes are useful in treating autoimmune disease.

US PAT NO: 5,714,577 [IMAGE AVAILABLE] L12: 7 of 32

DATE ISSUED: Feb. 3, 1998
TITLE: Antimicrobial peptides

INVENTOR: Ronald C. Montelaro, Pittsburgh, PA

Sarah Burroughs Tencza, Pittsburgh, PA Timothy A. Mietzner, Pittsburgh, PA

ASSIGNEE: University of Pittsburgh, Pittsburgh, PA (U.S. corp.)

APPL-NO: 08/786,748 DATE FILED: Jan. 24, 1997

ART-UNIT: 187

PRIM-EXMR: Paula K. Hutzell ASST-EXMR: Benet Prickril

LEGAL-REP: Brumbaugh, Graves, Donohue & Raymond

US PAT NO: 5,714,577 [IMAGE AVAILABLE] L12: 7 of 32

ABSTRACT:

The invention is directed to antimicrobial peptides which correspond in sequence to selective amino acid sequences in viral transmembrane proteins. In particular, the proteins are derived from lentiviruses, primarily HIV and SIV. The peptides comprise arginine-rich sequences, which, when modeled for secondary structure, display a high amphipathicity and hydrophobic moment. They are highly inhibitory to microorganisms, while being significantly less active in regard to mammalian cells. As a result, the peptides of the invention may be defined as selective antimicrobial agents. The invention is also directed to antimicrobial peptides which are structural and functional analogs and homologs of the peptides and which exhibit selective inhibitory activity towards microorganisms. The invention is also directed to **pharmaceutical** **compositions** comprising the antimicrobial peptides of the invention and to methods for their use in inhibiting microbial growth and treatment of microbial infections.

US PAT NO: 5,695,769 [IMAGE AVAILABLE] L12: 8 of 32

DATE ISSUED: Dec. 9, 1997

TITLE: Pasteurella multocida toxoid vaccines INVENTOR: Joseph C. Frantz, Lincoln, NE David S. Roberts, Lincoln, NE

Leroy A. Swearingin, Lincoln, NE Richard J. Kemmy, Gretna, NE

ASSIGNEE: Pfizer Inc., New York, NY (U.S. corp.)

APPL-NO: 08/244,052 DATE FILED: Jul. 11, 1994

ART-UNIT: 182

PRIM-EXMR: Hazel F. Sidberry

LEGAL-REP: Peter C. Richardson, Paul H. Ginsburg, Alan L. Koller

US PAT NO: 5,695,769 [IMAGE AVAILABLE] L12: 8 of 32

ABSTRACT:

This invention provides vaccine **compositions**, methods of producing same and methods for protecting porcine animals against disease associated with infection by toxigenic Pasteurella multocida. The vaccines of this invention contain effective amounts of a P. multocida bacterin with a cell-bound toxoid and, optionally, a P. multocida free toxoid.

US PAT NO: 5,686,409 [IMAGE AVAILABLE] L12: 9 of 32

DATE ISSUED: Nov. 11, 1997 TITLE: Antirestenosis protein

INVENTOR: D. Grant McFadden, Edmonton, Canada

Alexandra Lucas, Edmonton, Canada

ASSIGNEE: Research Corporation Technologies, Inc., Tucson, AZ (U.S.

corp.)

APPL-NO: 08/232,238 DATE FILED: May 2, 1994

ART-UNIT: 181

PRIM-EXMR: Howard E. Schain ASST-EXMR: P. Lynn Touzeau

LEGAL-REP: Scully, Scott, Murphy & Presser

US PAT NO: 5,686,409 [IMAGE AVAILABLE] L12: 9 of 32

ABSTRACT:

A method of treating primary and recurrent atheromatous plaque development is provided. The method involves administering a therapeutically effective amount of SERP-1, admixed in a pharmaceutically acceptable carrier to the intimal or lumenal layer of arterial walls. Biologically active SERP-1 analogs are also provided.

US PAT NO: 5,620,956 [IMAGE AVAILABLE] L12: 10 of 32

DATE ISSUED: Apr. 15, 1997

TITLE: Methods of using CD8 binding domain peptides

INVENTOR: Carol Clayberger, Stanford, CA

Alan M. Krensky, Stanford, CA

ASSIGNEE: The Board of Regents of the Leland Stanford Junior

University, Stanford, CA (U.S. corp.)

APPL-NO: 08/279,501 DATE FILED: Jul. 22, 1994 ART-UNIT: 181

PRIM-EXMR: Avis M. Davenport LEGAL-REP: Morrison & Foerster LLP

US PAT NO: 5,620,956 [IMAGE AVAILABLE] L12: 10 of 32

ABSTRACT:

The present invention provides **compositions** comprising a peptide having between about 7 and about 20 amino acid residues, the peptide being capable of binding a CD8 molecule on a cytolytic T lymphocyte (CTL) precursor and inhibiting differentiation of the CTL precursor to a mature CTL. The peptides have amino acid sequences substantially homologous to a sequence in an .alpha.3 domain of a human Class I MHC molecule. The sequence from the .alpha.3 domain is preferably between residue 220 and residue 235. The peptides typically comprise the sequences DQTQDTE (SEQ. ID No. 1) or EDQTQDTELVETRP (SEQ. ID No. 2).

US PAT NO: 5,604,207 [IMAGE AVAILABLE] L12: 11 of 32

DATE ISSUED: Feb. 18, 1997

TITLE: Sialyl Le.sup.x analogues as inhibitors of cellular

adhesion

INVENTOR: Shawn A. DeFrees, San Marcos, CA

Federico C. A. Gaeta, Olivenhain, CA John J. Gaudino, Westlake Village, CA

Zhongli Zheng, Lexington, MA Masaji Hayashi, Kobe, Japan

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/345,072 DATE FILED: Nov. 28, 1994

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,604,207 [IMAGE AVAILABLE] L12: 11 of 32

ABSTRACT:

The inventive compounds are analogues of sially Le.sup.x that inhibit cellular adhesion between a selectin and cells that express sialyl Le.sup.x on their surfaces, and their synthetic intermediates. An inventive compound has structure A, ##STR1## wherein Z is hydrogen, C.sub.1 -C.sub.6 acyl or ##STR2## Y is C(O), SO.sub.2, HNC(O), OC(O) or SC(O); R.sup. 1 is an aryl, a substituted aryl or a phenyl C.sub. 1 -C.sub.3 alkylene group, wherein an aryl group has one five- or six-membered aromatic ring, a fused five/six-membered aromatic ring, or two fused six-membered aromatic rings, which rings are hydrocarbyl, monooxahydrocarbyl, monothiahydrocarbyl, monoazahydrocarbyl or diazahydrocarbyl rings, and a substituted aryl group is an aryl group having a halo, trifluoromethyl, nitro, C.sub.1 -C.sub.18 alkyl, C.sub.1 -C.sub.18 alkoxy, amino, mono-C.sub.1 -C.sub.18 alkylamino, di-C.sub.1 -C.sub.18 alkylamino, benzylamino, C.sub.1 -C.sub.18 alkylbenzylamino, C.sub.1 -C.sub.18 thioalkyl or C.sub.1 -C.sub.18 alkyl carboxamido substituent, or

R.sup.1 Y is allyloxycarbonyl or chloroacetyl;

R.sup.2 is hydrogen, C.sub.1 -C.sub.18 straight chain, branched chain or cyclic hydrocarbyl, C.sub.1 -C.sub.6 alkyl C.sub.1 -C.sub.5 alkylene .omega.-carboxylate, .omega.-tri(C.sub.1 -C.sub.4 alkyl/phenyl)silyl C.sub.2 -C.sub.4 alkylene, monosaccharide or disaccharide,

or OR.sup.2 together form a C.sub.1 - C.sub.18 straight chain, branched chain or cyclic hydrocarbyl carbamate;

R.sup.3 is hydrogen or C.sub.1 -C.sub.6 acyl;

R.sup.4 is hydrogen, C.sub.1 -C.sub.6 alkyl or benzyl;

R.sup.5 is hydrogen, benzyl, methoxybenzyl, dimethoxybenzyl or C.sub.1 -C.sub.6 acyl;

R.sup.7 is methyl or hydroxymethyl; and

X is C.sub.1 -C.sub.6 acyloxy, C.sub.2 -C.sub.6 hydroxylacyloxy, hydroxy, halo or azido.

US PAT NO: 5,576,305 [IMAGE AVAILABLE] L12: 12 of 32

DATE ISSUED: Nov. 19, 1996

TITLE: Intercellular adhesion mediators INVENTOR: Robert M. Ratcliffe, Carlsbad, CA

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)

APPL-NO: 08/466,040 DATE FILED: Jun. 6, 1995

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,576,305 [IMAGE AVAILABLE] L12: 12 of 32

ABSTRACT:

The present invention is directed towards **compositions** and methods for reducing or controlling inflammation and for treating inflammatory disease processes and other pathological conditions mediated by intercellular adhesion. The **compositions** of the invention include compounds that selectively bind selectin receptors, the selectin binding activity being mediated by a carbohydrate moiety. The selectin-binding moieties of the invention are derivatives of a sialylated, fucosylated N-acetyllactosamine unit of the Lewis X antigen. Compounds containing a selectin-binding moiety in both monovalent and multivalent forms are included in the invention. The compounds of the invention are provided as **pharmaceutical** **compositions** which include, for example, liposomes that carry selectin-binding moieties of the invention.

US PAT NO: 5,567,434 [IMAGE AVAILABLE] L12: 13 of 32

DATE ISSUED: Oct. 22, 1996

TITLE: Preparation of liposome and lipid complex **compositions**

INVENTOR: Francis C. Szoka, Jr., San Francisco, CA

ASSIGNEE: The Regents of the University of California, Oakland, CA

(U.S. corp.)

APPL-NO: 08/480,227 DATE FILED: Jun. 7, 1995

ART-UNIT: 152

PRIM-EXMR: Carlos Azpuru

LEGAL-REP: Crosby, Heafey, Roach & May

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and either injecting the resulting solution into an aqueous solution, or the aqueous solution into the resulting solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,559,103 [IMAGE AVAILABLE] L12: 14 of 32

DATE ISSUED: Sep. 24, 1996

TITLE: Bivalent sialyl X saccharides

INVENTOR: Federico C. A. Gaeta, Foster City, CA

Shawn A. DeFrees, San Marcos, CA

ASSIGNEE: Cytel Corporation, San Diego, CA (U.S. corp.)
APPL-NO: 08/278,020 DATE FILED: Jul. 20, 1994

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Townsend and Crew LLP

US PAT NO: 5,559,103 [IMAGE AVAILABLE] L12: 14 of 32

ABSTRACT:

The present invention relates to bivalent sially Lewis X saccharide compounds that inhibit cellular binding to a selectin receptor.

Pharmaceutical **compositions** containing a compound of Formula I, and processes for making and using the same are disclosed. A contemplated bivalent sialyl Lewis X saccharide compound has a structure that corresponds to Formula I, below, ##STR1## wherein R is a directly linked divalent monosaccharide unit; Y is selected from the group consisting of C(O), SO.sub.2, HNC(O), OC(O) and SC(O);

R.sup.2 is selected from the group consisting of a C.sub.1 -C.sub.6 hydrocarbyl, an aryl, a substituted aryl and a phenyl C.sub.1 -C.sub.3 alkylene group, wherein an aryl group has one six-membered aromatic ring or two fused six-membered aromatic rings, which ring or rings are hydrocarbyl, monoazahydrocarbyl, or diazahydrocarbyl rings, and a substituted aryl group is a before-mentioned aryl group having a substituent selected from the group consisting of halo, trifluoromethyl, nitro, C.sub.1 -C.sub.6 alkyl, C.sub.1 -C.sub.6 alkylamino, di-C.sub.1 -C.sub.6 alkylamino, benzylamino and C.sub.1 -C.sub.6 alkylbenzylamino; R.sup.3 is methyl or hydroxymethyl;

X is selected from the group consisting of hydroxyl, C.sub.1 -C.sub.6 acyloxy, C.sub.2 -C.sub.6 hydroxylacyloxy, halo and azido; Z.sup.1 and Z.sup.2 are .alpha.-L-fucosyl or hydrogen (H), but at least one of Z.sup.1 and Z.sup.2 is .alpha.-L-fucosyl; and M is a proton (H.sup.+) or a pharmaceutically acceptable cation.

US PAT NO: 5,549,910 [IMAGE AVAILABLE] L12: 15 of 32

DATE ISSUED: Aug. 27, 1996

TITLE: Preparation of liposome and lipid complex **compositions**

INVENTOR: Francis C. Szoka, Jr., San Francisco, CA

ASSIGNEE: The Regents of the University of California, Oakland, CA

(U.S. corp.)

APPL-NO: 08/179,291 DATE FILED: Jan. 10, 1994

ART-UNIT: 152

PRIM-EXMR: Carlos Azpuru

LEGAL-REP: Crosby, Heafey, Roach & May

US PAT NO: 5,549,910 [IMAGE AVAILABLE] L12: 15 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and either injecting the resulting solution into an aqueous solution, or the aqueous solution into the resulting solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,534,499 [IMAGE AVAILABLE] L12: 16 of 32

DATE ISSUED: Jul. 9, 1996

TITLE: Lipophilic drug derivatives for use in liposomes

INVENTOR: Steve Ansell, Vancouver, Canada

ASSIGNEE: The University of British Columbia, Vancouver, Canada

(foreign corp.)

APPL-NO: 08/246,010 DATE FILED: May 19, 1994

ART-UNIT: 121

PRIM-EXMR: Gary L. Kunz

ASST-EXMR: Kathleen Kahler Fonda

LEGAL-REP: Townsend and Towsend and Crew

US PAT NO: 5,534,499 [IMAGE AVAILABLE] L12: 16 of 32

ABSTRACT:

The present invention provides novel lipophilic drug derivatives which are capable of being formulated in liposomes or micelles. These drug derivatives are known therapeutic agents which are covalently attached to a fatty acid chain of a phospholipid, glyceride, ceramide or 1,2-diacyloxypropane-3-amine. The linkage between the therapeutic agent and the lipid is one which can be cleaved in vivo, allowing the therapeutic agent to be separated from the micellar or liposomal formulation.

US PAT NO: 5,534,254 [IMAGE AVAILABLE] L12: 17 of 32

DATE ISSUED: Jul. 9, 1996

TITLE: Biosynthetic binding proteins for immuno-targeting

INVENTOR: James S. Huston, Chestnut Hill, MA

L. L. Houston, Oakland, CA

David B. Ring, Redwood City, CA

Hermann Oppermann, Medway, MA

ASSIGNEE: Chiron Corporation, Emeryville, CA (U.S. corp.)

Creative BioMolecules, Inc., Hopkinton, MA (U.S. corp.)

APPL-NO: 08/133,804 DATE FILED: Oct. 7, 1993

ART-UNIT: 186

PRIM-EXMR: Donald E. Adams

LEGAL-REP: Testa, Hurwitz & Thibeault

US PAT NO: 5,534,254 [IMAGE AVAILABLE] L12: 17 of 32

ABSTRACT:

Disclosed is a formulation for targeting an epitope on an antigen expressed in a mammal. The formulation comprises a pharmaceutically acceptable carrier together with a dimeric biosynthetic construct for binding at least one preselected antigen. The biosynthetic construct contains two polypeptide chains, each of which define single-chain Fv (sFv) binding proteins and have C-terminal tails that facilitate the crosslinking of two sFv polypeptides. The resulting dimeric constructs have a conformation permitting binding of a said preselected antigen by the binding site of each said polypeptide chain when administered to said mammal. The formulation has particular utility in in vivo imaging and drug targeting experiments.

US PAT NO: 5,523,290 [IMAGE AVAILABLE] L12: 18 of 32

DATE ISSUED: Jun. 4, 1996
TITLE: Antiproliferation factor

INVENTOR: Robert D. LeBoeuf, Birmingham, AL

J. Edwin Blalock, Mountain Brook, AL

Kenneth L. Bost, Birmingham, AL

ASSIGNEE: University of Alabama at Birmingham Research Foundation, Birmingham, AL (U.S. corp.)

APPL-NO: 08/240,802 DATE FILED: May 10, 1994

ART-UNIT: 184

PRIM-EXMR: Robert A. Wax
ASST-EXMR: Rebecca Prouty
LEGAL-REP: Benjamin Aaron Adler

US PAT NO: 5,523,290 [IMAGE AVAILABLE] L12: 18 of 32

ABSTRACT:

Mammalian pituitary discovered anti-proliferation factor that inhibits in vitro cellular proliferation of lymphoid, neuroendocrine and neural cells but not of fibroblast or endothelial cells. The present invention is directed to this antiproliferation factor which has been named suppressin and is a protein of Mr 63,000, sensitive to reduction and has a pI of 8.1. Suppressin is provided as a cell free preparation or in homogeneous form. The invention provides methods to purify suppressin, antibodies against suppressin and their use recombinant DNA molecules encoding suppressin, and **pharmaceutical** **compositions** for inducing regression or inhibiting growth of tumor or cancel cells and autoimmune diseases.

US PAT NO: 5,468,481 [IMAGE AVAILABLE] L12: 19 of 32 DATE ISSUED: Nov. 21, 1995

TITLE: MHC class II-peptide conjugates useful in ameliorating

autoimmunity

INVENTOR: Somesh D. Sharma, Los Altos, CA

Brian R. Clark, Redwood City, CA Bernard L. Lerch, Palo Alto, CA

ASSIGNEE: Amergen, Inc., Redwood City, CA (U.S. corp.)

APPL-NO: 07/869,293 DATE FILED: Apr. 14, 1992

ART-UNIT: 183

PRIM-EXMR: Kay K. A. Kim ASST-EXMR: T. Cunningham

LEGAL-REP: Townsend and Townsend and Crew

US PAT NO: 5,468,481 [IMAGE AVAILABLE] L12: 19 of 32

ABSTRACT:

The present invention is directed to complexes consisting essentially of an isolated MHC component and an autoantigenic peptide associated with the antigen binding site of the MHC component. These complexes are useful in treating autoimmune disease.

US PAT NO: 5,468,478 [IMAGE AVAILABLE] L12: 20 of 32

DATE ISSUED: Nov. 21, 1995

TITLE: Conjugates of superoxide dismutage coupled to high

molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA

Ralph Somack, Oakland, CA

L. David Williams, Fremont, CA

ASSIGNEE: Oxis International, Inc., Portland, OR (U.S. corp.)

APPL-NO: 08/138,301 DATE FILED: Oct. 18, 1993

ART-UNIT: 152

PRIM-EXMR: Thurman K. Page ASST-EXMR: P. Kulkosqy

LEGAL-REP: Skjerven, Morrill, MacPherson, Franklin & Friel

US PAT NO: 5,468,478 [IMAGE AVAILABLE] L12: 20 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 5,436,228 [IMAGE AVAILABLE] L12: 21 of 32

DATE ISSUED: Jul. 25, 1995

TITLE: Chemotactic wound healing peptides

INVENTOR: Arnold E. Postlethwaite, 635 Bethany Rd., Eads, TN 38028

Jerome Seyer, 1412 Carr Ave., Memphis, TN 38104 Andrew Kang, 2334 Massey Rd., Memphis, TN 38119

APPL-NO: 08/127,909

DATE FILED: Sep. 28, 1993

ART-UNIT: 181

PRIM-EXMR: Jill Warden ASST-EXMR: Sheela J. Huff

LEGAL-REP: Scully, Scott, Murphy & Presser

US PAT NO: 5,436,228 [IMAGE AVAILABLE] L12: 21 of 32

ABSTRACT:

Peptides corresponding to an no acid sequences in the C-terminal region of TGF-.beta. are provided. The peptides all contain at least a seven amino acid sequence substantially corresponding to the amino acid sequence of TGF-.beta.1 amino acids 368-374: VYYVGRK, as well as homologs and analogs thereof. The peptides have chemotactic activity towards fibroblasts, monocytes and neutrophils and induce fibroblast proliferation and collagen synthesis. The peptides may be used in **compositions** and methods for promoting wound healing.

US PAT NO: 5,366,963 [IMAGE AVAILABLE] L12: 22 of 32

DATE ISSUED: Nov. 22, 1994

TITLE: Gangliosides with immunosuppressive ceramide moieties

INVENTOR: Stephan Ladisch, Chevy Chase, MD

ASSIGNEE: The Regents of the University of California, Oakland, CA

(U.S. corp.)

APPL-NO: 08/021,734 DATE FILED: Feb. 23, 1993

ART-UNIT: 183

PRIM-EXMR: Ronald W. Griffin

LEGAL-REP: Poms, Smith, Lande & Rose

US PAT NO: 5,366,963 [IMAGE AVAILABLE] L12: 22 of 32

ABSTRACT:

A method for suppressing immune responses in animals by administering a mixture of gangliosides to the animal where the gangliosides have heterogeneous ceramide structures containing fatty acid portions with carbon chain lengths of 21-30 or less than 18 carbon atoms. Ganglioside mixtures which are homogeneous with respect to the fatty acid portion are also effective immunosuppressive agents when the carbon chain length of the fatty acid portion is less than 18. **Compositions** containing the above specified ganglioside mixtures are also disclosed.

US PAT NO: 5,283,317 [IMAGE AVAILABLE] L12: 23 of 32

DATE ISSUED: Feb. 1, 1994

TITLE: Intermediates for conjugation of polypeptides with high

molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA

Ralph Somack, Oakland, CA

L. David Williams, Fremont, CA

ASSIGNEE: DDI Pharmaceuticals, Inc., Mountain View, CA (U.S. corp.)

APPL-NO: 07/774,841 DATE FILED: Oct. 11, 1991

ART-UNIT: 152

Peter F. Kulkosky PRIM-EXMR:

LEGAL-REP: Wegner, Cantor, Mueller & Player

US PAT NO: 5,283,317 [IMAGE AVAILABLE] L12: 23 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 5,277,914 [IMAGE AVAILABLE] L12: 24 of 32

DATE ISSUED: Jan. 11, 1994

Preparation of liposome and lipid complex **compositions** TITLE:

Francis C. Szoka, Jr., San Francisco, CA INVENTOR:

ASSIGNEE: The Regents of the University of California, Oakland, CA

(U.S. corp.)

APPL-NO: 07/741,937

DATE FILED: Aug. 8, 1991

ART-UNIT:

152

PRIM-EXMR: Thurman K. Page

ASST-EXMR: C. Azpuru

LEGAL-REP: Fisher & Associates

US PAT NO: 5,277,914 [IMAGE AVAILABLE] L12: 24 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and either injecting the resulting solution into an aqueous solution, or the aqueous solution into the resulting solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

5,215,680 [IMAGE AVAILABLE] US PAT NO: L12: 25 of 32

DATE ISSUED: Jun. 1, 1993

TITLE: Method for the production of medical-grade lipid-coated microbubbles, paramagnetic labeling of such microbubbles

and therapeutic uses of microbubbles

Joseph S. D'Arrigo, Farmington, CT INVENTOR:

Cavitation-Control Technology, Inc., Farmington, CT (U.S. ASSIGNEE:

corp.)

07/550,620 APPL-NO: DATE FILED: Jul. 10, 1990

ART-UNIT: 223

PRIM-EXMR: Richard D. Lovering

ASST-EXMR: John M. Covert

LEGAL-REP: Kramer, Brufsky & Cifelli

US PAT NO: 5,215,680 [IMAGE AVAILABLE] L12: 25 of 32

ABSTRACT:

This invention relates to a large scale method for the production of medical grade lipid-coated microbubbles, to the paramagnetic labeling of such microbubbles and to therapeutic applications for the microbubbles. More particularly, the invention relates to a method of the production of medical grade, concentrated suspensions of stable, paramagnetically derivatized or underivatized microbubbles useful for ultrasonic and magnetic resonance imaging and also relates to therapeutic interventions such as selective tumor destruction.

US PAT NO: 5,160,726 [IMAGE AVAILABLE] L12: 26 of 32

DATE ISSUED: Nov. 3, 1992

Filter **sterilization** for production of colloidal, TITLE:

superparamagnetic MR contrast agents

Lee Josephson, Arlington, MA INVENTOR:

Ernest V. Groman, Brookline, MA

Stephen Palmacci, Walpole, MA

Advanced Magnetics Inc., Cambridge, MA (U.S. corp.) ASSIGNEE:

APPL-NO: 07/650,957 DATE FILED: Feb. 5, 1991

ART-UNIT: 129

PRIM-EXMR: Richard L. Raymond Gary E. Hollinden ASST-EXMR:

LEGAL-REP: Bromberg & Sunstein

US PAT NO: 5,160,726 [IMAGE AVAILABLE] L12: 26 of 32

ABSTRACT:

An improvement is provided to a method for obtaining an in vivo MR image of an organ or tissue of an animal or human subject, of the type including administering to the subject as a contrast agent to enhance such MR image an effective amount of a colloid including superparamagnetic metal oxide particles dispersed in a physiologically acceptable carrier. In accordance with the improvement, the method includes preparing the colloid in a manner that provides a reduction in toxicity in comparison with that associated with administration of the colloid after terminal sterilization. The improvement may include sterilizing the colloid by filtration. In an additional embodiment, the colloid may be sterilized by filtration and preserved by **lyophilization**. The colloid may be **lyophilized** in the presence of a compatible excipient. The excipient utilized may include a dextran or a citrate anion. Other embodiments include related **compositions** and methods.

5,080,891 [IMAGE AVAILABLE] L12: 27 of 32 US PAT NO:

DATE ISSUED: Jan. 14, 1992

Conjugates of superoxide dismutase coupled to high TITLE: molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA

Ralph Somack, Oakland, CA L. David Williams, Fremont, CA

ASSIGNEE: DDI Pharmaceuticals, Inc., Mountain View, CA (U.S. corp.)

APPL-NO: 07/560,996 DATE FILED: Aug. 1, 1990

ART-UNIT: 152

PRIM-EXMR: Thurman K. Page ASST-EXMR: Peter F. Kulkosky

LEGAL-REP: Wegner, Cantor, Mueller & Player

US PAT NO: 5,080,891 [IMAGE AVAILABLE] L12: 27 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 5,077,057 [IMAGE AVAILABLE] L12: 28 of 32

DATE ISSUED: Dec. 31, 1991

TITLE: Preparation of liposome and lipid complex **compositions**

INVENTOR: Francis C. Szoka, Jr., San Francisco, CA

ASSIGNEE: The Regents of the University of California, Oakland, CA

(U.S. corp.)

APPL-NO: 07/605,155 DATE FILED: Oct. 29, 1990

ART-UNIT: 152

PRIM-EXMR: Thurman K. Page ASST-EXMR: Carlos Azpuru LEGAL-REP: Irell & Manella

US PAT NO: 5,077,057 [IMAGE AVAILABLE] L12: 28 of 32

ABSTRACT:

Liposome and lipidic particle formulations of compounds are prepared by dissolving in a solution of liposome-forming lipids in an aprotic solvent such as DMSO, optionally containing a lipid-solubilizing amount of a lower alkanol, and injecting the resulting solution into an aqueous solution. The resulting liposome or lipidic particle suspension may then be dialyzed or otherwise concentrated. This method is particularly useful for compounds which are poorly-soluble in aqueous solution, but is generally useful for any compound or combination of compounds which can be dissolved in the aprotic solvent or aprotic solvent/lower alkanol mixture.

US PAT NO: 5,006,333 [IMAGE AVAILABLE] L12: 29 of 32

DATE ISSUED: Apr. 9, 1991

TITLE: Conjugates of superoxide dismutase coupled to high

molecular weight polyalkylene glycols

INVENTOR: Mark Saifer, Berkeley, CA

Ralph Somack, Oakland, CA L. David Williams, Fremont, CA

ASSIGNEE: DDI Pharmaceuticals, Inc., Mountain View, CA (U.S. corp.)

APPL-NO: 07/380,205 DATE FILED: Jul. 13, 1989

ART-UNIT: 155

PRIM-EXMR: Peter F. Kulkosky LEGAL-REP: Wegner & Bretschneider

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US PAT NO: 5,006,333 [IMAGE AVAILABLE] L12: 29 of 32

ABSTRACT:

A biologically persistent, water-soluble, substantially non-immunogenic, substantially non-antigenic conjugate of superoxide dismutase is prepared by coupling one to five strands of a polyalkylene glycol which is polyethylene glycol or polyethylene-polypropylene glycol copolymer, wherein said polyalkylene glycol has an average molecular weight of about 35,000-1,000,000.

US PAT NO: 4,816,563 [IMAGE AVAILABLE] L12: 30 of 32

DATE ISSUED: Mar. 28, 1989

TITLE: Process for obtaining transfer factor from colostrum,

transfer factor so obtained and use thereof

INVENTOR: Gregory B. Wilson, Mount Pleasant, SC

Gary V. Paddock, Mount Pleasant, SC

ASSIGNEE: Amtron, Inc., Charleston, SC (U.S. corp.)

APPL-NO: 06/670,596 DATE FILED: Nov. 15, 1984

ART-UNIT: 185

PRIM-EXMR: Thomas G. Wiseman ASST-EXMR: Robin L. Teskin

LEGAL-REP: John P. White, John J. Santalone

US PAT NO: 4,816,563 [IMAGE AVAILABLE] L12: 30 of 32

ABSTRACT:

Antigen specific excreted transfer factor may be obtained by collecting material, e.g. colostrum or milk, secreted by the mammary gland of a suitable lactating mammal, e.g. a cow having immunity to the antigen under suitable conditions such that materials which interfere with transfer factor efficacy are removed so as to obtain transfer factor. Colostrum or milk so collected may be used directly, typically after sterilization, or may be treated to further concentrate and/or purify transfer factor. Treatment to yield colostral whey containing transfer factor is presently the preferred method for obtaining transfer factor for use in conferring immunity against diseases associated with antigens for which the transfer factor is specific. Cell-associated transfer factor specific for an antigen may also be obtained by incubation release from, or lysis of, cells obtained from the collected material. An alternative method for obtaining transfer factor is to recover it from the mammary tissue of a suitable lactating mammal. The transfer factor may be used in edible **compositions** and in **pharmaceutical** or veterinary **compositions** and in methods for conferring immunity in a human or lower animal to a disease associated with the antigen. The



transfer factor may then be used to prevent or treat the disease.

US PAT NO: 4,781,871 [IMAGE AVAILABLE]

L12: 31 of 32

DATE ISSUED: Nov. 1, 1988

TITLE: High-concentration liposome processing method

INVENTOR: Glenn West, III, San Carlos, CA

Francis J. Martin, San Francisco, CA

ASSIGNEE: Liposome Technology, Inc., Dover, DE (U.S. corp.)

APPL-NO: 06/909,122 DATE FILED: Sep. 18, 1986

ART-UNIT: 223

PRIM-EXMR: Richard D. Lovering

LEGAL-REP: Ciotti & Murashige, Irell & Manella

US PAT NO: 4,781,871 [IMAGE AVAILABLE] L12: 31 of 32

ABSTRACT:

A method of preparing a concentrated liposome suspension having a lipid concentration of greater than about 250 .mu.m/ml and liposome sizes no greater than about 0.4 microns. A solution of vesicle-forming lipids in a chlorofluorocarbon solvent is injected under selected conditions into an aqueous medium, with continual solvent removal. During the lipid injection and solvent-removal steps, the liposomes formed in the aqueous medium are extruded through a membrane, to reduce liposome sizes to less than about 0.6 microns. The lipid injection, solvent removal, and extrusion steps are continued until a lipid concentration of at least about 150 .mu.m/ml is reached.

US PAT NO: 4,752,425 [IMAGE AVAILABLE] L12: 32 of 32

DATE ISSUED: Jun. 21, 1988

TITLE: High-encapsulation liposome processing method

INVENTOR: Francis J. Martin, San Francisco, CA

Glenn West, III, San Carlos, CA

ASSIGNEE: Liposome Technology, Inc., Menlo Park, CA (U.S. corp.)

APPL-NO: 06/908,765 DATE FILED: Sep. 18, 1986

ART-UNIT: 223

PRIM-EXMR: Richard D. Lovering

LEGAL-REP: Ciotti & Murashige, Irell & Manella

US PAT NO: 4,752,425 [IMAGE AVAILABLE] L12: 32 of 32

ABSTRACT:

A method of preparing a suspension of liposomes containing a water-soluble compound predominantly in liposome-encapsulated form. A solution of vesicle-forming lipids in a chlorofluorocarbon solvent is infused under selected conditions into an aqueous medium, with continual solvent removal. The lipid infusion and solvent removal steps are continued until a lipid concentration of at least about 150 .mu.m/ml is reached, at which point more than about half of the compound contained in the resultant liposome suspension is in encapsulated form.